

CD NO.

LANGUAGE Russian

NO. OF PAGES 2

SUPPLEMENT TO
REPORT NO.

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SOURCE Sovetskaya Meditsina, No 8, 1949.

NEW ANTIHISTAMINES -- CITRAL AND BETA-IONONE

M. L. Rokhlina

Cen Ophthalmological Inst imeni Gelmgolts
Inst Dir: Prof A. A. Kolen

During the last decade many foreign scientists have worked on synthesizing antihistamines. The experimental use of citral and beta-ionone in the Soviet Union (initiated by Professor Balakhovskiy) is extremely important.

Citral was first extracted in the USSR on a commercial scale in 1930. It can be obtained from the oil of *Eucalyptus Stalgeriana* and from other essential oils. It is a light yellow or greenish oily fluid with an agreeable odor like that of lemon. From its chemical structure it may be considered an aliphatic aldehyde.

In 1941 it was found that beta-ionone (from which Vitamin A is synthesized) and ioncete (a compound of beta-ionone and citral) produced antithyroid, epithelizing, and regenerative effects similar to those of Vitamin A. Beta-ionone is synthesized from citral and, from its chemical structure, may be considered part of the molecule of Vitamin A₁.

Our joint studies with A. A. Bodrova (Chair of Biology of the former Fourth Moscow Medical Institute) showed that induced A avitaminosis in rats was not cured by beta-ionone admixtures but that its development was arrested. This indicates that it has a possible relation to the synthesis of Vitamin A in the organism and may partially replace it.

Experimental tests proved that beta-ionone and citral inhibited thyroidin-induced thyrogenic metamorphoses in axolotls and that beta-ionone and that beta-ionone and especially citral have antithyroid effects.

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Gonadotropic reaction in young female mice and male rats is greatly increased by simultaneous introduction of prolactin (chorionic gonadotropin) and beta-ionone or carotene. Beta-ionone (or carotene) also strengthens the effect of pituitary extracts on the weight of the adrenal glands.

It was established experimentally that citral and beta-ionone, like carotene, may either strengthen or inhibit the action of hormones. Hence, together with hormones, they may influence the processes of growth and puberty.

Experiments on the interdependence of the effects of citral or beta-ionone and that of mediators (acetyl choline, adrenalin, and histamine) are outlined below.

Experiments on the eyes of frogs showed that citral, like adrenalin reduced the contraction induced by vegetotropic substances and even dilated the pupil. Its pronounced antihistaminic action was demonstrated by experiments on the isolated hearts of frogs, and on isolated intestines of guinea pigs in vitro, where spasms induced by histamine were greatly decreased by introducing citral.

Data from these three series of tests were the basis for subsequent clinical observations (in association with our laboratory) on many diseases involving disturbances of histamine metabolism.

Citral was used by Prof F. I. Dobromyl'skiy in inhalants and gargles, as well as internally as an antihistaminic, in over 200 cases of pulmonary and laryngeal tuberculosis. It proved effective as an analgesic, antiphlogistic, and epithelizing agent. It also facilitated elimination of dysphagia and of the perifocal zone of infection in acute or exacerbated processes.

Applications of a warm solution of citral (2 cubic centimeters of one-percent alcoholic solution in 50 cubic centimeters of water) have been found to have an analgesic effect in ulcerous gingivostomatitis, glossalgia, and hyperesthesia of the dentine. A 0.01-percent solution of citral or beta-ionone was used successfully by Pertsova, Belousova, and Mogilevskaya as an analgesic and epithelizing agent which was administered in drops for keratitis, and with the addition of fomentations, in blepharitis.

To ascertain the comparative effects of citral and adrenalin on the vascular system, tests were made with various citral concentrations on the isolated ear of a rabbit and hind legs of a frog. It was discovered that a 1:10,000 solution of citral neutralized the effect of adrenalin and produced rapid and strong vasodilation.

On the initiative Balakhovskiy, citral and beta-ionone were used successfully in treating hyperthyreosis, hypertonia (three drops of a one-percent alcoholic solution of citral per day), and vegetative crises during menopause.

The use of beta-ionone is impeded by the fact that its synthesis is a complicated process, while citral is available as a natural product and also produces a more active antithyroid, antihistaminic, and vasomotor effect.

Soviet-manufactured citral and beta-ionone produce no toxic effects. In fact, since they are chemically related to Vitamin A, as mentioned above, they have a similar biological action.

Discovery of the medicinal effect of citral as an antihistamine in glaucoma and in dysphagia due to pulmonary and laryngeal tuberculosis is an indisputable Soviet achievement.

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